

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
20 January 2005 (20.01.2005)

PCT

(10) International Publication Number
WO 2005/005389 A2

(51) International Patent Classification⁷: **C07D 213/00**

GRELL, Matthias [DE/DE]; Lindenweg 44, 64291 Darmstadt (DE).

(21) International Application Number:
PCT/EP2004/006573

(74) Common Representative: **MERCK PATENT GMBH**;
Frankfurter Strasse 250, 64293 Darmstadt (DE).

(22) International Filing Date: 18 June 2004 (18.06.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
03014556.9 7 July 2003 (07.07.2003) EP

(81) Designated States (*unless otherwise indicated, for every kind of national protection available*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(71) Applicant (*for all designated States except US*): **MERCK PATENT GMBH** [DE/DE]; Frankfurter Strasse 250, 64293 Darmstadt (DE).

(84) Designated States (*unless otherwise indicated, for every kind of regional protection available*): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(72) Inventors; and

(75) Inventors/Applicants (*for US only*): **BRUGE, David** [FR/DE]; Goldbergweg 19, 60599 Frankfurt (DE). **BUCHSTALLER, Hans-Peter** [AT/DE]; Heinrichstrasse 54, 64331 Weiterstadt (DE). **WIESNER, Matthias** [DE/DE]; Beethovenring 10, 64342 Seeheim-Jugenheim (DE). **FINSINGER, Dirk** [DE/DE]; Im Fiedlersee 5, 64291 Darmstadt (DE). **BAUMGARTH, Manfred** [DE/DE]; Sachsenstrasse 53, 64297 Darmstadt (DE). **SIRRENBURG, Christian** [DE/DE]; Taunusstrasse 10, 64289 Darmstadt (DE). **ZENKE, Frank** [DE/DE]; Schulzen-gasse 7, 64291 Darmstadt (DE). **AMENDT, Christiane** [DE/DE]; Barkhausstrasse 22, 64289 Darmstadt (DE).

Published:

— *without international search report and to be republished upon receipt of that report*

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: MALONAMIDE DERIVATIVES

(57) Abstract: The present invention relates to malonamide derivatives of formula (I): A-D-B, the use of the compounds of formula (I) as inhibitors of raf-kinase, the use of the compounds of formula (I) for the manufacture of a pharmaceutical composition and a method of treatment, comprising administering said pharmaceutical composition to a patient.

WO 2005/005389 A2

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
20 January 2005 (20.01.2005)

PCT

(10) International Publication Number
WO 2005/005389 A3

- (51) International Patent Classification⁷: **C07D 213/68**, 413/12, A61K 31/44, A61P 35/00
- (74) Common Representative: **MERCK PATENT GMBH**; Frankfurter Strasse 250, 64293 Darmstadt (DE).
- (21) International Application Number: PCT/EP2004/006573
- (22) International Filing Date: 18 June 2004 (18.06.2004)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data: 03014556.9 7 July 2003 (07.07.2003) EP
- (71) Applicant (for all designated States except US): **MERCK PATENT GMBH** [DE/DE]; Frankfurter Strasse 250, 64293 Darmstadt (DE).
- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): **BRUGE, David** [FR/DE]; Goldbergweg 19, 60599 Frankfurt (DE). **BUCHSTALLER, Hans-Peter** [AT/DE]; Heinrichstrasse 54, 64331 Weiterstadt (DE). **WIESNER, Matthias** [DE/DE]; Beethovenring 10, 64342 Seeheim-Jugenheim (DE). **FINSINGER, Dirk** [DE/DE]; Im Fiedlersee 5, 64291 Darmstadt (DE). **BAUMGARTH, Manfred** [DE/DE]; Sachsenstrasse 53, 64297 Darmstadt (DE). **SIRRENBURG, Christian** [DE/DE]; Taunusstrasse 10, 64289 Darmstadt (DE). **ZENKE, Frank** [DE/DE]; Schulzen-gasse 7, 64291 Darmstadt (DE). **AMENDT, Christiane** [DE/DE]; Barkhausstrasse 22, 64289 Darmstadt (DE). **GRELL, Matthias** [DE/DE]; Lindenweg 44, 64291 Darmstadt (DE).
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).
- Published:
— with international search report
- (88) Date of publication of the international search report: 24 March 2005
- For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: MALONAMIDE DERIVATIVES

(57) Abstract: The present invention relates to malonamide derivatives of formula A-D-B-1, as inhibitors of raf-kinase and the use of the compounds of formula (I) for the manufacture of a pharmaceutical composition.

WO 2005/005389 A3